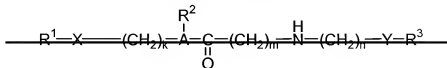
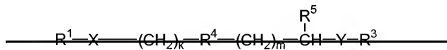


**Amendments to the Specification**

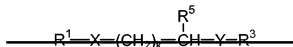
1. Please amend page 12, lines 1-4 of the original specification as follows:



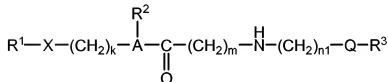
~~1~~



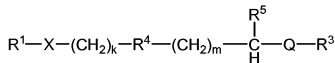
~~2~~



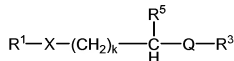
~~3~~



1



2



3

2. Please amend page 12, lines 5-19 as follows:

wherein:  $R^1$  is the biologically active compound; X is a linkage formed between a functional group on the biologically active compound and a terminal functional group on the linking moiety;  $[[Y]] Q$  is a linkage formed from a functional group on the transport moiety and a functional group on the linking moiety; A is N or CH;  $R^2$  is hydrogen, alkyl, aryl, arylalkyl, acyl or allyl;  $R^3$  is the transport moiety;  $R^4$  is S, O,  $NR^6$  or  $CR^7R^8$ ;  $R^5$  is H, OH, SH or  $NHR^6$ ;  $R^6$  is hydrogen, alkyl, aryl, acyl or allyl;  $R^7$  and  $R^8$  are independently hydrogen or alkyl; k and m are independently either 1 or 2; and  $[[n]] \underline{n}$  is an integer ranging from 1 to 10. Non-limiting examples of the X and  $[[Y]] Q$  linkages are (in either orientation):  $-C(O)O-$ ,  $-C(O)NH-$ ,  $-OC(O)NH-$ ,  $-S-S-$ ,  $-C(S)O-$ ,  $-C(S)NH-$ ,  $-NHC(O)NH-$ ,  $-SO_2NH-$ ,  $-SONH-$ , phosphate, phosphonate and phosphinate. One of skill in the art will appreciate that when the biological agent has a hydroxy functional group, then X will preferably be  $-OC(O)-$  or  $-OC(O)NH-$ . Similarly, when the linking group is attached to an amino terminus of the transport moiety,  $[[Y]] Q$  will preferably be  $-C(O)NH-$ ,  $-NHC(O)NH-$ ,  $-SO_2NH-$ ,  $-SONH-$  or  $-OC(O)NH-$  and the like. In each of the groups provided above, NH is shown for brevity, but each of the linkages (X and  $[[Y]] Q$ ) can contain substituted (e.g., N-alkyl or N-acyl) linkages as well.

3. Please amend page 13, lines 17-18 as follows:

Accordingly, for structure 1, the following substituents are preferred: A is N;  $R^2$  is benzyl; k, m and  $[[n]] \underline{n}$  are 1; X is  $-OC(O)-$  and  $[[Y]] Q$  is  $-C(O)NH-$ .

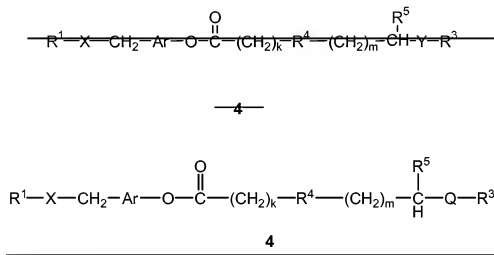
4. Please amend page 14, lines 5-10 as follows:

Accordingly, in one group of preferred embodiments, the conjugate is represented by formula 2, in which X is  $-OC(O)-$ ;  $[[Y]] Q$  is  $-C(O)NH-$ ;  $R^4$  is S;  $R^5$  is  $NHR^6$ ; and the subscripts k and m are each 1. In another group of preferred embodiments, the conjugate is represented by formula 2, in which X is  $-OC(O)-$ ;  $[[Y]] Q$  is  $-NHC(O)-$ ;  $R^4$  is S;  $R^5$  is  $CONH_2$ ; and the subscripts k and m are each 1. Particularly preferred conjugates are those in which  $R^6$  is hydrogen, methyl, allyl, butyl or phenyl.

5. Please amend page 14, lines 15-16 as follows:

For structure **3**, the following substituents are preferred:  $R^5$  is  $NHR^6$ , wherein  $R^6$  is hydrogen, methyl, allyl, butyl or phenyl;  $k$  is 2;  $X$  is  $-C(O)O-$ ; and  $[[Y]] Q$  is  $-C(O)NH-$ .

6. Please amend page 15, lines 7 as follows:



7. Please amend page 15, lines 22-25 as follows:

Preferably, the linking groups used in the conjugates of formula **4**, are those in which Ar is an substituted or unsubstituted phenylene group;  $R^4$  is S;  $R^5$  is  $NHR^6$ , wherein  $R^6$  is hydrogen, methyl, allyl, butyl, acetyl or phenyl;  $k$  and  $m$  are 1;  $X$  is  $-C(O)O-$ ; and  $Y$  is  $-C(O)O-$  or  $-C(O)NH-$ . More preferably,  $R^6$  is hydrogen or acetyl.

8. Please amend page 18, lines 9-19 as follows:

Still other suitable linkers are illustrated in Figure 5E of PCT application US00/23440 (Publication No. WO 01/13957). In the approach provided therein, a delivery-enhancing transporter is linked to a biologically active agent, *e.g.*, paclitaxel, by an aminoalkyl carboxylic acid. Preferably, the linker amino group is linked to the linker carboxyl carbon by

from 3 to 5 chain atoms ( $n = 3$  to 5), preferably either 3 or 4 chain atoms, which are preferably provided as methylene carbons. As seen in Figure 5E, the linker amino group is joined to the delivery-enhancing transporter by an amide linkage, and is joined to the paclitaxel moiety by an ester linkage. Enzymatic cleavage of the amide linkage releases the delivery-enhancing transporter and produces a free nucleophilic amino group. The free amino group can then react intramolecularly with the ester group to release the linker from the paclitaxel.